

Structure attributes must be viewed using STN Express query preparation.

=> s 116 sss sam SAMPLE SEARCH INITIATED 16:45:43 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 754 TO ITERATE

100.0% PROCESSED 754 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 13433 TO 16727
PROJECTED ANSWERS: 0 TO 0

L17 0 SEA SSS SAM L16

=> s 116 sss full

FULL SEARCH INITIATED 16:45:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15265 TO ITERATE

100.0% PROCESSED 15265 ITERATIONS 30 ANSWERS

SEARCH TIME: 00.00.02

L18 30 SEA SSS FUL L16

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 191.54 976.73

0.00 5E5510N 0.00 -1.70

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FILE COVERS 1907 - 2 Apr 2010 VOL 152 ISS 15 FILE LAST UPDATED: 1 Apr 2010 (20100401/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 118

L19 13 L18

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 13 ANSWERS - CONTINUE? Y/(N):y

L19 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1502110 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 152:97713

TITLE: An Oxidation and Ring Contraction Approach to the

Synthesis of $(\pm)-1$ -Deoxynojirimycin and

 (\pm) -1-Deoxyaltronojirimycin

AUTHOR(S): Bagal, Sharan K.; Davies, Stephen G.; Lee, James A.;

Roberts, Paul M.; Russell, Angela J.; Scott, Philip

M.; Thomson, James E.

CORPORATE SOURCE: Department of Chemistry, Chemistry Research

Laboratory, University of Oxford, Oxford, OX1 3TA, UK

SOURCE: Organic Letters (2009), 12(1), 136-139

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB A reaction sequence involving the chemoselective olefinic oxidation of N(1)-benzyl-2,7-dihydro-1H-azepine with m-CPBA in the presence of HBF4 and BnOH followed by ring contraction facilitates the stereoselective preparation of either of the epoxide diastereoisomers of

(2RS,3SR)-N(1)-benzyl-2-chloromethyl-3-benzyloxy-4,5-epoxypiperidine by simple modification of the reaction conditions. Epoxide ring opening, functional group interconversion, and deprotection allow the synthesis of (\pm) -1-deoxynojirimycin and (\pm) -1-deoxyaltronojirimycin.

IT 1202170-20-4P 1202170-24-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidation and ring contraction approach to synthesis of $(\pm)-1$ -deoxynojirimycin and $(\pm)-1$ -deoxyaltronojirimycin)

RN 1202170-20-4 CAPLUS

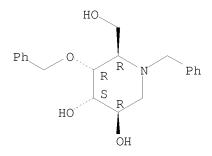
CN 3,4-Piperidinediol, 6-[(acetyloxy)methyl]-5-(phenylmethoxy)-1-(phenylmethyl)-, (3R,4S,5R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 1202170-24-8 CAPLUS

CN 3,4-Piperidinediol, 6-(hydroxymethyl)-5-(phenylmethoxy)-1-(phenylmethyl)-, (3R,4S,5R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:507065 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 151:57064

TITLE: Facile Aza-Claisen Rearrangement of Glycals:

Application in the Synthesis of 1-Deoxy-L-imino-sugars

AUTHOR(S): Gupta, Preeti; Vankar, Yashwant D.

CORPORATE SOURCE: Department of Chemistry, Indian Institute of

Technology, Kanpur, 208016, India

SOURCE: European Journal of Organic Chemistry (2009), (12),

1925-1933, S1925/1-S1925/38 CODEN: EJOCFK; ISSN: 1434-193X PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:57064

AB 2-C-Methylene-N-glycosyl amides have been obtained from

2-(hydroxymethyl)glycals through a facile aza-Claisen rearrangement. This

rearrangement has also been utilized in the synthesis of

L-allo-deoxynojirimycin, a moderate inhibitor of human lysosomal α -mannosidase (IC50 = 64 μ M), and two new C-5-(hydroxymethyl)

analogs of L-altro-deoxynojirimycin and L-ido-deoxynojirimycin. (.COPYRGT.

Wiley-VCH Verlag GmbH & Co. KGaA, 69451 Weinheim, Germany, 2009).

IT 1161011-53-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(facile aza-Claisen rearrangement of glycals in synthesis of

1-deoxy-L-imino-sugars as enzyme inhibitors)

RN 1161011-53-5 CAPLUS

CN 3-Piperidinemethanol, 3-hydroxy-4,5-bis(phenylmethoxy)-6-

[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (3R, 4S, 5S, 6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1383655 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 149:575982

TITLE: Reductive aminations of carbonyl compounds with

borohydride and borane reducing agents

AUTHOR(S): Baxter, Ellen W.; Reitz, Allen B.

CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute,

Spring House, PA, USA

SOURCE: Organic Reactions (Hoboken, NJ, United States) (2002),

59, No pp. given CODEN: ORHNBA

URL: http://www3.interscience.wiley.com/cgi-

bin/mrwhome/107610747/HOME

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal; General Review; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:575982

AB A review of the article Reductive aminations of carbonyl compds. with

borohydride and borane reducing agents.

IT 188779-10-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(Reductive Aminations of Carbonyl Compds. with Borohydride and Borane Reducing Agents)

RN 188779-10-4 CAPLUS

CN 3,4-Piperidinediol, 1-(diphenylmethyl)-5-(phenylmethoxy)-2-[(phenylmethoxy)methyl]-, (2S,3S,4S,5S)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:908712 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 145:489467

TITLE: Access to Piperidine Imino-C-glycosides via

Stereoselective Thiazole-Based Aminohomologation of

Pyranoses

AUTHOR(S): Dondoni, Alessandro; Nuzzi, Andrea

CORPORATE SOURCE: Dipartimento di Chimica, Laboratorio di Chimica

Organica, Universita di Ferrara, Ferrara, 44100, Italy

SOURCE: Journal of Organic Chemistry (2006), 71(20), 7574-7582

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:489467

The access to piperidine homoazasugars (dideoxyiminoheptitols) from pyranoses via formal one-carbon chain elongation and exchange of the ring oxygen with the NH group is described. The key process involves the stereoselective addition of 2-thiazolylmagnesium bromide to an N-glycosylhydroxylamine, i.e., a hidden open-chain sugar nitrone. The N-thiazolylalkylhydroxylamine formed in this way is reduced to amine, and this transformed into a substituted piperidine via intramol. cyclization by an SN2 process. Cleavage of the thiazole residue attached to C2 of the piperidine ring reveals the formyl group, and this is reduced to hydroxymethyl to give the target homoazasugar. A collection of six stereodiversified compds. with free OH and NH groups and isolated as hydrochlorides has been prepared

IT 914080-58-3P 914080-59-4P 914080-62-9P

914080-63-0P 914081-90-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

 $(preparation \ \ of \ piperidine \ imino-C-glycosides \ via \ stereoselective \ addition$

2-thiazolylmagnesium bromide to an N-glycosylhydroxylamine as a key step)

RN 914080-58-3 CAPLUS

of

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-thiazolyl)-, (2S,3S,4S,5S,6S)- (CA INDEX NAME)

RN 914080-59-4 CAPLUS

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-thiazolyl)-, (2S,3S,4S,5S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 914080-62-9 CAPLUS

CN 2-Piperidinemethanol, 3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2R,3S,4R,5S,6S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 914080-63-0 CAPLUS

CN 2-Piperidinemethanol, 3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2S,3S,4R,5S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 914081-90-6 CAPLUS

CN 2-Piperidinemethanol, 3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2R,3R,4R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:466314 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 143:153676

TITLE: Cross-Metathesis of C-Allyl Iminosugars with Alkenyl

Oxazolidines as a Key Step in the Synthesis of C-Iminoglycosyl $\alpha\text{-Amino Acids.}$ A Route to

Iminosugar Containing C-Glycopeptides

AUTHOR(S): Dondoni, Alessandro; Giovannini, Pier Paolo; Perrone,

Daniela

CORPORATE SOURCE: Dipartimento di Chimica, Laboratorio di Chimica

Organica, Universita di Ferrara, Ferrara, 44100, Italy

SOURCE: Journal of Organic Chemistry (2005), 70(14), 5508-5518

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:153676

GΙ

AΒ A general access to a novel class of sugar α -amino acids I and II (n = 0,1), composed of iminofuranose and iminopyranose residues anomerically linked to the glycinyl group through an alkyl chain, is described. A set of eight compds. was prepared by the same reaction sequence involving as an initial step the Grubbs Ru-carbene-catalyzed cross-metathesis (CM) of various N-Cbz-protected allyl C-iminoglycosides with N-Boc-4-vinyl- and N-Boc-4-allyl-2, 2-dimethyloxazolidines. The isolated yields of the CM products (mixts. of E- and Z-alkenes) varied in the range 40-70%. Each mixture was elaborated by first reducing the carbon-carbon double bond using in situ generated diimide and then unveiling the N-Boc glycinyl group [CH(BocNH)CO2H] by oxidative cleavage of the oxazolidine ring by the Jones reagent. All amino acids were characterized as their Me esters. insertion of a model C-iminoglycosyl-2-aminopentanoic acid into a tripeptide via sequential carboxylic and amino group coupling with L-phenylalanine derivs. was carried out to synthesize glycopeptide III. 860264-31-9 ΤT

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of C-iminoglycosyl amino acids and their glycopeptide derivs.
via cross-metathesis of C-allyl iminosugars with alkenyl oxazolidines
as a key step)

RN 860264-31-9 CAPLUS

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-propen-1-yl)-, (2S,3S,4R,5S,6S)- (CA INDEX NAME)

OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS

RECORD (23 CITINGS)

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1127336 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 142:56619
TITLE: Preparation of

2-hydroxymethyl-3,4,5-trihydroxy-1-(4-pentyloxybenzyl)-

piperidine as glucosylceramide synthase inhibitor Orchard, Michael Glen; Scopes, David Ian Carter

INVENTOR(S): Orchard, Michael Glen; Scopes, I PATENT ASSIGNEE(S): Oxford Glycosciences UK Ltd, UK

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT 1	ΝΟ.			KIND DATE					APPL	ICAT		DATE				
WO	2004111001				A1 20041223			,	WO 2	004-	GB24		20040609				
	W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	ΤG													
AU	2004	2474	68		A1 20041223					AU 2	004 -		20040609				
AU	2004	2474	68		B2 20091119												
CA	2528	322			A1 20041223				1	CA 2	004 -		20040609				
EP	1641	1641755			A1	A1 20060405				EP 2	004 -		20040609				
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		ΙE,	SI,	FΙ,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,						
CN	1805934				A 20060719				1	CN 2	004-		20040609				
CN	100422150				C 20081001												
	BR 2004011293							BR 2004-11293						20040609			
JP 2006527252					Τ	T 20061130			JP 2006-516390						20040609		
US	A1	A1 20071108				US 2007-560383						20070329					
ORITY					1	GB 2	003-	1367	7	Ž	A 2	0030	613				
					,	WO 2	004 - 0	GB24	50	Ī	W 2	0040	609				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:56619
GI

Ι

AB Title piperidine imino sugar I , or a pharmaceutically acceptable salt or prodrug thereof, was prepared via condensation of (2S,3S,4R,5S)–2-(hydroxymethyl)–3,4,5-piperidinetriol with 4-(pentyloxy)benzaldehyde and (polystyrylmethyl)trimethylammonium cyanoborohydride and used as an inhibitor of glucosylceramide synthase from human mammary epithelial cells (IC50 = 4.8 $\mu\text{M})$. The compound of the present invention can also be used in the treatment of cancer in which glycolipid synthesis is abnormal such as brain tumors, neuroblastoma, malignant melanoma, renal adenocarcinoma and multidrug resistant cancers in general (no data). Also claimed, the use title compound in the manufacture of

a medicament for use in the treatment of Alzheimer's disease, epilepsy, stroke, Parkinson's disease or spinal injury, rheumatoid arthritis, Crohn's disease, asthma or sepsis (no data).

IT 811419-33-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-hydroxymethyl-3,4,5-trihydroxy-1-(4-pentyloxybenzyl)-piperidine as glucosylceramide synthase inhibitor)

RN 811419-33-7 CAPLUS

CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-[[4-(pentyloxy)phenyl]methyl]-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

HO S N N
$$(CH_2)_4$$
 Me OH

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:60472 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 140:94233

TITLE: Preparation of aza-sugar piperidinetriol derivatives

as antiviral and antitumor agents and inhibitors of

ΙI

glycosylceramide synthase

INVENTOR(S): Ali, Mezher Hussein; Orchard, Michael Glen

PATENT ASSIGNEE(S): Oxford Glycosciences (UK) Ltd., UK

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATI	PATENT NO.						KIND DATE				ICAT		DATE				
WO :	2004007454				A1 20040122			,	WO 2	003-	GB32	20030717					
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
CA :	CA 2492410				A1		2004	0122	1	CA 2	003-	2492	20030717				
AU :	AU 2003248960				A1		2004	0202		AU 2	003-	2489	20030717				
	2003																
EP :	1554	245			A1		2005	0720		EP 2	003-	7640.	20030717				
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
JP :	JP 2005536506						2005	1202	1	JP 2	004 -	5209	13	20030717			
US :	US 20060111400					A1 20060525				US 2	005-	5222	07	20051027			
RIORITY	ORITY APPLN. INFO.:							1	GB 2	002-	1665	A 20020717					
									1	GB 2	003-	1480			A 2	0030	122
									1	GB 2	003-	1367	4		A 2	0030	613
									,	WO 2	003-0	GB32	44	1	₩ 2	0030	717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:94233
GI

Aza-sugar piperidinetriol derivs. I; wherein R is substituted alkylphenyl, AR alkylpyridiyl, were prepared as inhibitors of glucosylceramide synthase. Thus, II was prepared and tested in vitro as antiviral agent and inhibitor of glycosylceramide synthase (IC50 range = 0.1 to > 100.0 μM). 644960-51-0P 644960-52-1P ΙT 644960-50-9P 644960-53-2P 644960-54-3P 644960-55-4P 644960-56-5P 644960-57-6P 644960-58-7P 644960-59-8P RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azasugar piperidinetriol derivs. as antiviral and antitumor agents and inhibitors of glycosylceramide synthase) RN 644960-50-9 CAPLUS Benzamide, N-[(4-fluorophenyl)methyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-K,5]]CN (hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-51-0 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-[[4-(phenylmethoxy)phenyl]methyl]-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-52-1 CAPLUS
CN Benzamide, N-[(1S)-1-phenylethyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

RN 644960-53-2 CAPLUS

CN Benzonitrile, 2-[bis(1-methylethyl)amino]-5-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-54-3 CAPLUS

CN Benzamide, N-[(1S)-1-(4-fluorophenyl)ethyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-55-4 CAPLUS

CN Benzamide, N-[(1R)-1-phenylethyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

RN 644960-56-5 CAPLUS

CN Benzamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-57-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 2-phenyl-6-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-58-7 CAPLUS

CN 3,4,5-Piperidinetriol, 1-[[4-[(4-chlorophenyl)methoxy]phenyl]methyl]-2-(hydroxymethyl)-, (2S,3S,4R,5S)- (CA INDEX NAME)

RN 644960-59-8 CAPLUS

CN 3,4,5-Piperidinetriol, 1-[[4-[(4-fluorophenyl)methoxy]phenyl]methyl]-2-(hydroxymethyl)-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:421770 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 139:230929

TITLE: A convenient synthesis of iminosugar-C-glycosides via

organometallic addition to

N-benzyl-N-glycosylhydroxylamines

AUTHOR(S): Dondoni, Alessandro; Perrone, Daniela

CORPORATE SOURCE: Dipartimento di Chimica, Laboratorio di Chimica

Organica, Universita di Ferrara, Ferrara, 44100, Italy

SOURCE: Tetrahedron (2003), 59(24), 4261-4273

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:230929

AB N-Benzyl-N-glycosylhydroxylamines were prepared in very good yield via condensation of furanoses and pyranoses with N-benzylhydroxylamine at 110°C for 30 min under solvent-free conditions. These anomeric sugar-hydroxylamines exist in equilibrium with the open-chain nitrone form. In fact upon treatment with various organometallic reagents, the corresponding adducts were obtained with good to high diastereoselectivity. These adducts were converted into

iminosugar-C-glycosides by reductive dehydroxylation and intramol. cyclization.

IT 595560-12-6P 595560-13-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (stereoselective synthesis of iminosugar-C-glycosides via organometallic addition to N-benzyl-N-glycosylhydroxylamines followed by intramol. cyclization)

RN 595560-12-6 CAPLUS

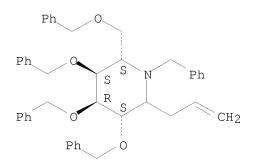
CN Piperidine, 2-ethynyl-3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2S,3S,4R,5S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 595560-13-7 CAPLUS

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-propen-1-yl)-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS

RECORD (26 CITINGS)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:539660 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 137:93950

TITLE: Preparation of pharmaceutically active aza-sugar

piperidine derivatives as inhibitors of galactosidase

and glucosylceramide synthase

INVENTOR(S): Butters, Terence D.; Dwek, Raymond A.; Fleet, George;

Orchard, Michael Glen; Platt, Frances Mary

PATENT ASSIGNEE(S): Oxford Glycosciences (UK) Ltd., UK; The Chancellor,

Masters and Scholars of the University of Oxford

PCT Int. Appl., 38 pp. CODEN: PIXXD2 SOURCE:

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						KIND DATE			APPLICATION NO.							DATE			
WO	2002					WO 2002-GB106							20020111						
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GI

AB Aza-sugar piperidine derivs. I wherein R is C1-16 alkyl, C3-7 cycloalkyl, and optionally interrupted by -O- the oxygen being separated from the ring nitrogen by at least two carbon atoms, or C1-10 alkylaryl where aryl is Ph, pyridyl, thienyl or furyl wherein Ph is optionally substituted by one or more substituents selected from F, C1, Br, CF3, OCF3, OR1, and C1-6 straight or branched-chain alkyl; and R1 is hydrogen, or C1-6 straight or branched-chain alkyl; represents various substituent groups, were prepared and are useful as inhibitors of galactosidase and glucosylceramide synthase. Thus, (2S,3R,4R,5S)-1-pentyl-2-(hydroxymethyl)-3,4,5-piperidinetriol was prepared and tested as inhibitor of human glucosylceramide synthase (IC50 = 4.0 $\mu \rm M$).

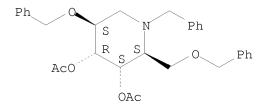
IT 441061-93-4

RL: NUU (Other use, unclassified); USES (Uses) (preparation of pharmaceutically active aza-sugar piperidine derivs. as inhibitors of galactosidase and glucosylceramide synthase)

RN 441061-93-4 CAPLUS

CN 3,4-Piperidinediol, 5-(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, 3,4-diacetate, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (13 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:498609 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 133:252629

TITLE: A norbornyl route to azasugars: a new synthesis of

deoxynojirimycin analogues

AUTHOR(S): Mehta, G.; Mohal, N.

CORPORATE SOURCE: Department of Organic Chemistry, Indian Institute of

Science, Bangalore, 560 012, India

SOURCE: Tetrahedron Letters (2000), 41(30), 5741-5745

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:252629

AB A new synthesis of deoxynojirimycin (DNJ) analogs (galacto- and altro-configuration) has been achieved through a functionalized cyclopentene derivative crafted from the norbornyl system, employing double reductive amination as the key step. The new DNJ analogs have been evaluated against various glycosidases and found to be moderate to strong inhibitors.

IT 295348-66-2P

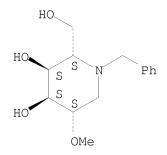
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and glycosidase inhibitory activity of deoxynojirimycin analogs via reductive amination)

295348-66-2 CAPLUS RN

CN 3,4-Piperidinediol, 2-(hydroxymethyl)-5-methoxy-1-(phenylmethyl)-, hydrochloride (1:1), (2S, 3S, 4S, 5S) - (CA INDEX NAME)

Absolute stereochemistry.



● HCl

12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT:

RECORD (12 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

1997:166648 CAPLUS <<LOGINID::20100402>> ACCESSION NUMBER:

DOCUMENT NUMBER: 126:264276

ORIGINAL REFERENCE NO.: 126:51189a,51192a

TITLE: Rare and complex saccharides from D-galactose and

other milk derived carbohydrates. 7. Double reductive

amination of L-arabino-hexos-5-uloses: a

diastereoselective approach to 1-deoxy-D-galactostatin

derivatives

AUTHOR(S): Barili, Pier Luigi; Berti, Giancarlo; Catelani,

Giorgio; D'Andrea, Felicia; De Rensis, Francesco;

Puccioni, Leonardo

Dip. Chim. Bioorg., Univ. Pisa, Pisa, I-56126, Italy CORPORATE SOURCE:

SOURCE: Tetrahedron (1997), 53(9), 3407-3416

CODEN: TETRAB; ISSN: 0040-4020

Elsevier PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English

CASREACT 126:264276 OTHER SOURCE(S):

The double reductive amination of L-arabino-hexos-5-ulose with AB benzhydrylamine and NaBH3CN takes place in a diastereospecific manner giving in moderate chemical yield (36%) the galactosidase inhibitor 1-deoxy-D-galactostatin. The amino cyclization. of 2,6-di-O-benzyl-L-arabino-hexos-5-ulose is more complicated, giving results dependent on the type of amine: with ammonia or methylamine a mixture of C-5 epimeric 1-deoxyazapyranoses (D-galacto/L-altro ratio \approx 4:1) is obtained in 45-65% combined yield, while with benzhydrylamine substantial amts. of an acyclic

1-deoxy-1-benzydrylamino-hexitol (10 % yield) is isolated together with the expected 1-deoxy-azasugars of the D-galacto and L-altro series.

ΤТ 188779-12-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diastereoselective approach to deoxygalactostatin derivs.)

RN 188779-12-6 CAPLUS

CN 3,4-Piperidinediol, 1-(diphenylmethyl)-5-(phenylmethoxy)-2-

[(phenylmethoxy)methy1]-, 3,4-diacetate, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

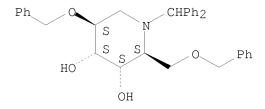
IT 188779-10-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (diastereoselective approach to deoxygalactostatin derivs.)

RN 188779-10-4 CAPLUS

CN 3,4-Piperidinediol, 1-(diphenylmethyl)-5-(phenylmethoxy)-2-[(phenylmethoxy)methyl]-, (2S,3S,4S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS

RECORD (22 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:182526 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 124:343893

ORIGINAL REFERENCE NO.: 124:63891a,63894a

TITLE: An efficient synthetic approach to aza-C-glycosyl

compounds. Application to the synthesis of an

aza-C-disaccharide

AUTHOR(S): Martin, Olivier R.; Liu, Li; Yang, Feng

CORPORATE SOURCE: Dep. Chemistry, State Univ. New York, Binghamton, NY,

13902-6016, USA

SOURCE: Tetrahedron Letters (1996), 37(12), 1991-4

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:343893

GΙ

AB The NIS-mediated intramol. cyclocondensation of aminoheptenitols, e.g. I, (prepared in three steps from tetra-O-benzyl-D-hexopyranoses) provided 1,2,6-trideoxy-2,6-imino-l-iodohepitols, e.g. II, highly stereoselectively and in high yield. The " α -D-gluco" epimer II was used in the synthesis of a precursor of an aza-C-disaccharide III and its reaction with tri-Et phosphite was investigated.

IT 176706-84-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of deoxyiminoiodohepitols as synthons of aza-C-disaccharides)

RN 176706-84-6 CAPLUS

CN Piperidine, 2-(iodomethyl)-3,4,5-tris(phenylmethoxy)-6- [(phenylmethoxy)methyl]-1-(phenylmethyl)-, [2S-(2α , 3α , 4β , 5β , 6α)]- (9CI) (CA INDEX NAME)

RECORD (57 CITINGS)

L19 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:138117 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 90:138117

ORIGINAL REFERENCE NO.: 90:21917a,21920a

TITLE: Synthetic study of amino sugars from pyridines. V.

Synthesis of 5-amino-5-deoxypiperidinoses from the singlet oxygen adduct of 1-acyl-1,2-dihydropyridines Natsume, Mitsutaka; Wada, Moritaka; Oqawa, Masashi

AUTHOR(S): Natsume, Mitsutaka; Wada, Moritaka; Og CORPORATE SOURCE: Itsuu Lab., Res. Found., Tokyo, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(11),

3364-72

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

- AB Sensitized photooxidn. of 5-cyano-1,2-dihydropyridine derivative I afforded a crystalline and reactive endo-peroxide (II) and S derivs. III (R = Ph, R1 = H, Ac; R = CH2Ph, R1 = H). O derivs. IV (R1 = Me, R2 = H, Ac; R1 = CD3, R2 = Ac) and V were produced in good yield from II. IV (R1 = Me, R2 = Ac) was a good intermediate for production of 4-substituted compds.,
 - 1-0-methyl-5-benzamido-5-deoxyallopiperidinose and
 - $1\hbox{--}0\hbox{--methyl-}5\hbox{--benzamido-}5\hbox{--deoxyaltropiperidinose.}$ Formation of IV and II was a multi-step reaction.
- IT 69538-38-1P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation and reaction of, with diethoxypropane)
- RN 69538-38-1 CAPLUS
- CN Methanone, phenyl[(2R,3R,4R,5S,6S)-3,4,5-trihydroxy-2-(hydroxymethyl)-6-methoxy-1-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

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